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— with international search report

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

(54) Title: AN ACQUEOUS PHARMACEUTICAL FORMULATION COMPRISING THE THROMBIN INHIBITOR MELAGATRAN AND USE OF THE FORMULATION IN THE MANUFACTURE OF A MEDICAMENT FOR USE BY NASAL ADMINISTRATION IN TREATING THROMBOEMBOLISM

(57) Abstract: An aqueous pharmaceutical formulation comprising the thrombin inhibitor HOOC-CH<sub>2</sub>-(R)-Cgl-Aze-Pab (melagatran), or a pharmaceutically-acceptable derivative thereof, a process for the preparation of such a pharmaceutical formulation, the use of such a formulation in the treatment of thromboembolism as well as a method of treating a patient in need of antithrombotic treatment and thromboembolism by using said formulation via nasal administration.

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# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/SE 2003/001738

## A. CLASSIFICATION OF SUBJECT MATTER

IPC7: A61K 38/55, A61K 38/05, A61K 9/00 // A61P 7/02  
According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC7: A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

SE,DK,FI,NO classes as above

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 0041716 A1 (AS-TRAZENECA AB), 20 July 2000 (20.07.2000), page 4, line 23 - page 5, line 2; page 5, line 4 - line 7, abstract --	1-6
X	WO 0195932 A1 (AS-TRAZENECA AB), 20 December 2001 (20.12.2001), page 11, line 9 - line 19, abstract --	1-6
A	US 5795896 A (JAN-ERIK LÖFROTH ET AL), 18 August 1998 (18.08.1998), column 2, line 1 - line 17, abstract --	1-6

☒ Further documents are listed in the continuation of Box C.

☒ See patent family annex.

\* Special categories of cited documents:

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "B" earlier application or patent but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

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## INTERNATIONAL SEARCH REPORT

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C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 9745138 A1 (ASTRA AKTIEBOLAG), 4 December 1997 (04.12.1997), page 3, line 21 - page 4, line 2  --	1-6
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P,X	European Journal of Pharmaceutical Sciences, Volume 18, 2003, Cecilia Wadell et al, "Permeability of porcine nasal mucosa correlated with human nasal absorption", page 47 - page 53, abstract  -- -----	1-6

## INTERNATIONAL SEARCH REPORT

Information on patent family members

24/12/2003

International application No.

PCT/SE 2003/001738

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## INTERNATIONAL SEARCH REPORT

Information on patent family members

24/12/2003

International application No.

PCT/SE 2003/001738

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# INTERNATIONAL SEARCH REPORT

International application No.  
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## Box No. II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: **5 and 6**  
because they relate to subject matter not required to be searched by this Authority, namely:  
**see extra sheet**
2. ☐ Claims Nos.:  
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box No. III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.  
☐ No protest accompanied the payment of additional search fees.

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT SE2003/01738

## Box II.1

Claims 5 and 6 relate to methods of treatment of the human or animal body by surgery or by therapy/diagnostic methods practised on the human or animal body/Rule 39.1.(iv). Nevertheless, a search has been executed for these claims. The search has been based on the alleged effects of the compounds/compositions.

Claim 13 relate to methods of treatment of the human or animal body by surgery or by therapy or diagnostic methods practiced on the human or animal body (Rule 39.1(iv)). Nevertheless, a search has been executed for this claim. The search has been based on the alleged effects of the compounds or compositions